## **AMENDMENTS TO THE CLAIMS:**

This listing of claims will replace all prior versions, and listings, of claims in the application:

## **LISTING OF CLAIMS:**

1. (Currently Amended) A method of promoting remyelination of nerve cells in a mammal comprising administering to the mammal in need thereof a compound in a remyelinating effective amount, wherein the compound is of formula I below:

wherein

R<sup>1</sup> is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocylic, heteroaryl and substituted heteroaryl;

 $R^2$  is selected from the group consisting of hydrogen, alkyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, heterocyclic, substituted heterocyclic, substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, and  $R^1$  and  $R^2$  together with the nitrogen atom bound to  $R^2$  and the  $SO_2$  group bound to  $R^1$  can form a heterocyclic or a substituted heterocyclic group;

 $R^3$  is selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic, substituted heterocyclic and, when  $R^2$  does not form a heterocyclic group with  $R^1$ ,  $R^2$  and  $R^3$  together with the nitrogen atom bound to  $R^2$  and the carbon atom bound to  $R^3$  can form a heterocyclic or a substituted heterocyclic group;

R<sup>5</sup> is -(CH<sub>2</sub>)<sub>x</sub>-Ar-R<sup>5'</sup> where R<sup>5'</sup> is selected from the group consisting of -O-Z-NR<sup>8</sup>R<sup>8'</sup> and -O-Z- R<sup>8''</sup> wherein R<sup>8</sup> and R<sup>8'</sup> are independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, heterocyclic, <u>and</u> substituted heterocyclic, <u>and where or</u> R<sup>8</sup> and R<sup>8'</sup> are joined to form a heterocycle or a

substituted heterocycle, R<sup>8"</sup> is selected from the group consisting of heterocycle and substituted heterocycle, and Z is selected from the group consisting of -C(O)- and -SO<sub>2</sub>-;

Ar is aryl, heteroaryl, substituted aryl or substituted heteroaryl; x is an integer of from 1 to 4;

Q is  $-C(X)NR^7$ - wherein  $R^7$  is selected from the group consisting of hydrogen and alkyl; and X is selected from the group consisting of oxygen and sulfur;

and pharmaceutically acceptable salts thereof.

2. (Currently Amended) A method of promoting remyelination of nerve cells in a mammal comprising administering to the mammal in need thereof a compound in a remyelinating effective amount, wherein the compound is of formula IA below:

$$\begin{array}{c|ccccc}
O & R^3 & R^5 & O \\
\parallel & & \parallel & \parallel & \parallel \\
S & N & CH & Q & CH & C & R^6
\end{array}$$
IA

wherein:

R<sup>1</sup> is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocylic, heteroaryl and substituted heteroaryl;

 $R^2$  is selected from the group consisting of hydrogen, alkyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, heterocyclic, substituted heterocyclic, substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, and  $R^1$  and  $R^2$  together with the nitrogen atom bound to  $R^2$  and the  $SO_2$  group bound to  $R^1$  can form a heterocyclic or a substituted heterocyclic group;

 $R^3$  is selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic, substituted heterocyclic and, when  $R^2$  does not form a heterocyclic group with  $R^1$ ,  $R^2$  and  $R^3$  together with the nitrogen atom bound to  $R^2$  and the carbon atom bound to  $R^3$  can form a heterocyclic or a substituted heterocyclic group;

 $R^5$  is -  $(CH_2)_x$ -Ar- $R^{5'}$  where  $R^{5'}$  is selected from the group consisting of -O-Z-NR<sup>8</sup>R<sup>8'</sup> and -O-Z-  $R^{8''}$  wherein  $R^8$  and  $R^{8'}$  are independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, heterocyclic, and

substituted heterocyclic, and where or  $R^8$  and  $R^{8'}$  are joined to form a heterocycle or a substituted heterocycle,  $R^{8''}$  is selected from the group consisting of heterocycle and substituted heterocycle, and Z is selected from the group consisting of -C(O)- and -SO<sub>2</sub>-;

Ar is aryl, heteroaryl, substituted aryl or substituted heteroaryl; x is an integer of from 1 to 4;

R<sup>6</sup> is selected from the group consisting of 2,4-dioxo-tetrahydrofuran-3-yl (3,4-enol), amino, alkoxy, substituted alkoxy, cycloalkoxy, substituted cycloalkoxy, -O-(N-succinimidyl), -NH-adamantyl, -O-cholest-5-en-3-β-yl, -NHOY where Y is hydrogen, alkyl, substituted alkyl, aryl, and substituted aryl, -NH(CH<sub>2</sub>)<sub>p</sub>COOY where p is an integer of from 1 to 8 and Y is as defined above, -OCH<sub>2</sub>NR<sup>9</sup>R<sup>10</sup> where R<sup>9</sup> is selected from the group consisting of -C(O)-aryl and -C(O)-substituted aryl and R<sup>10</sup> is selected from the group consisting of hydrogen and -CH<sub>2</sub>COOR<sup>11</sup> where R<sup>11</sup> is alkyl, and -NHSO<sub>2</sub>Z' where Z' is alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic and substituted heterocyclic;

Q is  $-C(X)NR^7$ - wherein  $R^7$  is selected from the group consisting of hydrogen and alkyl; and X is selected from the group consisting of oxygen and sulfur;

and pharmaceutically acceptable salts thereof with the following provisos

- (A) when  $R^1$  and  $R^2$  together with the SO<sub>2</sub> group pendent to  $R^1$  and the nitrogen pendent to  $R^2$  form a saccharin-2-yl group,  $R^3$  is -CH<sub>3</sub>,  $R^5$  is p-[(CH<sub>3</sub>)<sub>2</sub>NC(O)O-]benzyl and Q is -C(O)NH- then  $R^6$  is not -OC(CH<sub>3</sub>)<sub>3</sub>;
- (B) when  $R^1$  is p-methylphenyl,  $R^2$  and  $R^3$  together with the nitrogen atom pendent to  $R^2$  and the carbon atom pendent to  $R^3$  form a pyrrodinyl ring derived from D-proline;  $R^5$  is p-[(4-methylpiperazin-1-yl)NC(O)O-]benzyl derived from D-phenylalanine and Q is -C(O)NH-then  $R^6$  is not -OC(CH<sub>3</sub>)<sub>3</sub>;
- (C) when  $R^1$  is pyrimidin-2-yl,  $R^2$  and  $R^3$  together with the nitrogen atom bound to  $R^2$  and the carbon atom bound to  $R^3$  form a pyrrolidinyl ring,  $R^5$  is p-[(CH<sub>3</sub>)<sub>2</sub>NC(O)O-]benzyl and Q is -C(O)NH- then  $R^6$  is not -OC(CH<sub>3</sub>)<sub>3</sub>; and
- (D) when  $R^1$  is p-methylphenyl,  $R^2$  and  $R^3$  together with the nitrogen atom pendent to  $R^2$  and the carbon atom pendent to  $R^3$  form a (2S)-piperazin-2-carbonyl ring;  $R^5$  is p-[(CH<sub>3</sub>)<sub>2</sub>NC(O)O-]benzyl and Q is -C(O)NH- then  $R^6$  is not -OC(CH<sub>3</sub>)<sub>3</sub>.

3. (Currently Amended) A method of promoting remyelination of nerve cells in a mammal comprising administering to the mammal in need thereof a compound in a remyelinating effective amount, wherein the compound is of formula II below:

wherein:

R<sup>21</sup> is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocylic, heteroaryl and substituted heteroaryl;

 $R^{22}$  is selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkenyl, heterocyclic, substituted heterocyclic, aryl, substituted aryl, heteroaryl, and substituted heteroaryl, and or  $R^{21}$  and  $R^{22}$  together with the nitrogen atom bound to  $R^{22}$  and the  $SO_2$  group bound to  $R^{21}$  can form a heterocyclic or a substituted heterocyclic group;

R<sup>23</sup> is selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic, and substituted heterocyclic and where or R<sup>22</sup> and R<sup>23</sup> together with the nitrogen atom bound to R<sup>22</sup> and the carbon atom bound to R<sup>23</sup> can form a saturated heterocyclic group or a saturated substituted heterocyclic group with the proviso that when monosubstituted, the substituent on said saturated substituted heterocyclic group is not carboxyl;

Q is  $-C(X)NR^7$ - wherein  $R^7$  is selected from the group consisting of hydrogen and alkyl;

X is selected from the group consisting of oxygen and sulfur; and

R<sup>25</sup> is -CH<sub>2</sub>Ar<sup>22</sup>-R<sup>25</sup> where Ar<sup>22</sup> is aryl or heteroaryl and R<sup>25</sup> is selected from the group consisting of aryl, heteroaryl, substituted aryl, substituted heteroaryl, heterocyclic, substituted heterocyclic, aryloxy, substituted aryloxy, aralkoxy, substituted aralkoxy, heteroaryloxy, substituted heteroaryloxy, heterocyclic-O-, substituted heterocyclic-O-, heteroaralkoxy, and substituted heteroaralkoxy;

and pharmaceutically acceptable salts thereof.

4. (Currently Amended) A method of promoting remyelination of nerve cells in a mammal comprising administering to the mammal in need thereof a compound in a remyelinating effective amount, wherein the compound is of formula IIA below:

$$R^{21}$$
— $SO_2 \cdot N(R^{22})$   $C$  — $Q$  — $CH$  — $C$  — $R^{26}$   $C$  — $R^{25}$   $C$  — $R^{26}$   $C$  — $R^{26}$   $C$  — $R^{26}$   $C$  — $R^{26}$  — $R^{26$ 

where

R<sup>21</sup> is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocylic, heteroaryl and substituted heteroaryl;

 $R^{22}$  is selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkenyl, heterocyclic, substituted heterocyclic, aryl, substituted aryl, heteroaryl, and substituted heteroaryl, and or  $R^{21}$  and  $R^{22}$  together with the nitrogen atom bound to  $R^{22}$  and the  $SO_2$  group bound to  $R^{21}$  can form a heterocyclic or a substituted heterocyclic group;

 $R^{23}$  is selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic, and substituted heterocyclic, and or  $R^{22}$  and  $R^{23}$  together with the nitrogen atom bound to  $R^{22}$  and the carbon atom bound to  $R^{23}$  can form a saturated heterocyclic group or a saturated substituted heterocyclic group with the proviso that when monosubstituted, the substituent on said saturated substituted heterocyclic group is not carboxyl;

R<sup>25</sup> is -CH<sub>2</sub>Ar<sup>22</sup>-R<sup>25</sup> where Ar<sup>22</sup> is aryl or heteroaryl and R<sup>25</sup> is selected from the group consisting of aryl, heteroaryl, substituted aryl, substituted heteroaryl, heterocyclic, substituted heterocyclic, aryloxy, substituted aryloxy, aralkoxy, substituted aralkoxy, heteroaryloxy, [[]] substituted heteroaryloxy, [[,]] heterocyclic-O-, substituted heterocyclic-O-, heteroaralkoxy, and substituted heteroaralkoxy;

 $R^{26}$  is selected from the group consisting of 2,4-dioxo-tetrahydrofuran-3-yl (3,4-enol), amino, alkoxy, substituted alkoxy, cycloalkoxy, substituted cycloalkoxy, -O-(N-succinimidyl), -NH-adamantyl, -O-cholest-5-en-3- $\beta$ -yl, -NHOY where Y is hydrogen, alkyl, substituted alkyl, aryl, and substituted aryl, -NH(CH<sub>2</sub>)<sub>p</sub>COOY where p is an integer of from 1

to 8 and Y is as defined above,  $-OCH_2NR^{29}R^{30}$  where  $R^{29}$  is selected from the group consisting of -C(O)-aryl and -C(O)-substituted aryl and  $R^{30}$  is selected from the group consisting of hydrogen and  $-CH_2COOR^{31}$  where  $R^{31}$  is alkyl, and  $-NHSO_2Z'$  where Z' is alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heterocyclic or substituted heterocyclic;

Q is  $-C(X)NR^7$ - wherein  $R^7$  is selected from the group consisting of hydrogen and alkyl; and

X is selected from the group consisting of oxygen and sulfur; and pharmaceutically acceptable salts thereof.

5. (Original) A method of promoting remyelination of nerve cells in a mammal comprising administering to the mammal in need thereof a compound in a remyelinating effective amount, wherein the compound is of formula IB below:

$$Ar^{1} = 0$$

$$R^{12} = 0$$

$$R^{12} = 0$$

$$R^{13} = 0$$

$$R^{14} = 0$$

$$R^{15} = 0$$

$$R^{16} = 0$$

$$R^{16} = 0$$

$$R^{16} = 0$$

$$R^{11} = 0$$

$$R^{11} = 0$$

$$R^{12} = 0$$

$$R^{13} = 0$$

$$R^{14} = 0$$

$$R^{15} = 0$$

$$R^{16} = 0$$

$$R^{16} = 0$$

$$R^{18} = 0$$

$$R^{18} = 0$$

$$R^{19} = 0$$

$$R^{$$

wherein:

Ar<sup>1</sup> is selected from the group consisting of aryl, substituted aryl, heteroaryl, and substituted heteroaryl;

Ar<sup>2</sup> is selected from the group consisting of aryl, substituted aryl, heteroaryl and substituted heteroaryl;

R<sup>12</sup> is selected from the group consisting of alkyl, substituted alkyl, cycloalkyl, and substituted cycloalkyl or R<sup>12</sup> and R<sup>13</sup> together with the nitrogen atom bound to R<sup>12</sup> and the carbon atom bound to R<sup>13</sup> form a heterocyclic or substituted heterocyclic group;

 $R^{13}$  is selected from the group consisting of hydrogen, alkyl, and substituted alkyl, or  $R^{12}$  and  $R^{13}$  together with the nitrogen atom bound to  $R^{12}$  and the carbon atom bound to  $R^{13}$  form a heterocyclic or substituted heterocyclic group;

R<sup>14</sup> is selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, and substituted aryl;

R<sup>15</sup> is selected from the group consisting of alkyl, and substituted alkyl, or R<sup>15</sup> and R<sup>16</sup> together with the nitrogen atom to which they are bound form a heterocyclic or substituted heterocyclic group;

R<sup>16</sup> is selected from the group consisting of alkyl and substituted alkyl or R<sup>15</sup> and R<sup>16</sup> together with the nitrogen atom to which they are bound form a heterocyclic or substituted heterocyclic group; and

Y is selected from the group consisting of -O-, -NR<sup>100</sup>-, and -CH<sub>2</sub>- wherein R<sup>100</sup> is hydrogen or alkyl;

and pharmaceutically acceptable salts thereof.

- 6. (Original) The method according to claim 5, wherein  $R^{12}$  is alkyl, substituted alkyl, or  $R^{12}$  and  $R^{13}$  together with the nitrogen atom bound to  $R^{12}$  and the carbon atom bound to  $R^{13}$  form a heterocyclic or substituted heterocyclic group; and  $R^{14}$  is hydrogen or alkyl.
- (Original) The method according to claim 5, wherein Ar<sup>1</sup> is selected from the 7. group consisting of phenyl, 4-methylphenyl, 4-t-butylphenyl, 2,4,6-trimethylphenyl, 2-fluorophenyl, 3-fluorophenyl, 4-fluorophenyl, 2,4-difluorophenyl, 3,4-difluorophenyl, 3,5-difluorophenyl, 2-chlorophenyl, 3-chlorophenyl, 4-chlorophenyl, 3,4-dichlorophenyl, 3,5-dichlorophenyl, 3-chloro-4-fluorophenyl, 4-bromophenyl, 2-methoxyphenyl, 3-methoxyphenyl, 4-methoxyphenyl, 3,4-dimethoxyphenyl, 4-t-butoxyphenyl, 4-(3'-dimethylamino-*n*-propoxy)-phenyl, 2-carboxyphenyl, 2-(methoxycarbonyl)phenyl, 4-(H<sub>2</sub>NC(O)-)phenyl, 4-(H<sub>2</sub>NC(S)-)phenyl, 4-cyanophenyl, 4-trifluoromethylphenyl, 4-trifluoromethoxyphenyl, 3,5-di-(trifluoromethyl)phenyl, 4-nitrophenyl, 4-aminophenyl, 4-(CH<sub>3</sub>C(O)NH-)phenyl, 4-(PhNHC(O)NH-)phenyl, 4-amidinophenyl, 4-methylamidinophenyl, 4-[CH<sub>3</sub>SC(=NH)-]phenyl, 4-chloro-3-[H<sub>2</sub>NS(O)<sub>2</sub>-]phenyl, 1-naphthyl, 2-naphthyl, pyridin-2-yl, pyridin-3-yl, pyridine-4-yl, pyrimidin-2-yl, quinolin-8-yl, 2-(trifluoroacetyl)-1,2,3,4-tetrahydroisoguinolin-7-yl, 2-thienyl, 5-chloro-2-thienyl, 2,5-dichloro-4-thienyl, 1-N-methylimidazol-4-yl, 1-N-methylpyrazol-3-yl, 1-N-methylpyrazol-4-yl, 1-N-butylpyrazol-4-yl, 1-N-methyl-3-methyl-5-chloropyrazol-4-yl, 1-N-methyl-5-methyl-3-chloropyrazol-4-yl, 2-thiazolyl and 5-methyl-1,3,4-thiadiazol-2-yl.

Page 12

8. (Original) The method according to claim 5, wherein  $R^{12}$  and  $R^{13}$  together with the nitrogen atom bound to  $R^{12}$  and the carbon atom bound to  $R^{13}$  form a heterocyclic or substituted heterocyclic of the formula:

wherein

X is selected from the group consisting of -S-, -SO-, -SO<sub>2</sub>, and optionally substituted - CH<sub>2</sub>-;

m is an integer of 0 to 12;

n is an integer of 0 to 2; and

R' is selected from the group consisting of alkyl, substituted alkyl, and amino.

- 9. (Original) The method according to claim 8, wherein m is 1, X is -S-or -CH<sub>2</sub>-, R' is alkyl or substituted alkyl.
- 10. (Original) The method according to claim 8, wherein R<sup>12</sup> and R<sup>13</sup> together with the nitrogen atom bound to R<sup>12</sup> and the carbon atom bound to R<sup>13</sup> form a heterocyclic or substituted heterocyclic selected from the group consisting of azetidinyl, thiazolidinyl, piperidinyl, piperazinyl, thiomorpholinyl, pyrrolidinyl, 4-hydroxypyrrolidinyl, 4-coxopyrrolidinyl, 4-fluoropyrrolidinyl, 4,4-difluoropyrrolidinyl, 4-(thiomorpholin-4-ylC(O)O-)pyrrolidinyl, 4-[CH<sub>3</sub>S(O)<sub>2</sub>O-]pyrrolidinyl, 3-phenylpyrrolidinyl, 3-thiophenylpyrrolidinyl, 4-aminopyrrolidinyl, 3-methoxypyrrolidinyl, 4,4-dimethylpyrrolidinyl, 4-N-Cbz-piperazinyl, 4-[CH<sub>3</sub>S(O)<sub>2</sub>-]piperazinyl, thiazolidin-3-yl, 5,5-dimethyl-thiazolidin-3-yl, 5,5-dimethylthiazolidin-4-yl, 1,1-dioxo-thiazolidinyl, 1,1-dioxo-5,5-dimethylthiazolidin-2-yl and 1,1-dioxothiomorpholinyl.
- 11. (Original) The method according to claim 5, wherein Ar<sup>2</sup> is selected from the group consisting of phenyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, and 4-pyrid-2-onyl.

- 12. (Original) The method according to claim 5, wherein Y is -O-, and when Y is -O-, the moiety -OC(O)NR<sup>15</sup>R<sup>16</sup> is selected from the group consisting of (CH<sub>3</sub>)<sub>2</sub>NC(O)O-. (piperidin-1-yl)C(O)O-, (4-hydroxypiperidin-1-yl)C(O)O-, (4-formyloxypiperidin-1yl)C(O)O-, (4-ethoxycarbonylpiperidin-1-yl)C(O)O-, (4-carboxylpiperidin-1-yl)C(O)O-, (3-hydroxymethylpiperidin-1-yl)C(O)O-, (4-hydroxymethylpiperidin-1-yl)C(O)O-, (4-piperidon-1-yl ethylene ketal)C(O)O-, (piperazin-1-yl)-C(O)O-, (1-Boc-piperazin-4-yl)-C(O)O-, (4-methylpiperazin-1-yl)C(O)O-, (4-methylhomopiperazin-1-yl)C(O)O-, (4-(2-hydroxyethyl)piperazin-1-yl)C(O)O-, (4-phenylpiperazin-1-yl)C(O)O-, (4-(pyridin-2yl)piperazin-1]-yl)C(O)O-, (4-(4-trifluoromethylpyridin-2-yl)piperazin-1-yl)C(O)O-, (4-(pyrimidin-2-yl)piperazin-1-yl)C(O)O-, (4-acetylpiperazin-1-yl)C(O)O-, (4-(phenylC(O)-)piperazin-1-yl)C(O)O-, (4-(pyridin-4'-ylC(O)-)piperazin-1-yl)C(O)O, (4-(phenylNHC(O)-)piperazin-1-yl)C(O)O-, (4-(phenylNHC(S)-)piperazin-1-yl)C(O)O-, (4-methanesulfonylpiperazin-1-yl-C(O)O-, (4-trifluoromethanesulfonylpiperazin-1-yl-C(O)O-, (morpholin-4-yl)C(O)O-, (thiomorpholin-4-yl)C(O)O-, (thiomorpholin-4'-yl sulfone)-C(O)O-, (pyrrolidin-1-yl)C(O)O-, (2-methylpyrrolidin-1-yl)C(O)O-, (2-(methoxycarbonyl)pyrrolidin-1-yl)C(O)O-, (2-(hydroxymethyl)pyrrolidin-1-yl)C(O)O-, (2-(N,N-dimethylamino)ethyl)(CH<sub>3</sub>)NC(O)O-, (2-(N-methyl-N-toluene-4sulfonylamino)ethyl)(CH<sub>3</sub>)N-C(O)O-, (2-(morpholin-4-yl)ethyl)(CH<sub>3</sub>)NC(O)O-, (2-(hydroxy)ethyl)(CH<sub>3</sub>)NC(O)O-, bis(2-(hydroxy)ethyl)NC(O)O-, (2-(formyloxy)ethyl)(CH<sub>3</sub>)NC(O)O-, (CH<sub>3</sub>OC(O)CH<sub>2</sub>)HNC(O)O-, and 2-[(phenylNHC(O)O-)ethyl-]HNC(O)O-.
- 13. (Original) A method of promoting remyelination of nerve cells in a mammal comprising administering to the mammal in need thereof a compound in a remyelinating effective amount, wherein the compound is of formula IC below:

wherein

R<sup>x</sup> is hydroxy or C<sub>1-5</sub> alkoxy; and pharmaceutically acceptable salts thereof.

## 14. (Cancelled)

15. (Currently Amended) A method of promoting remyelination of nerve cells in a mammal comprising administering to the mammal in need thereof a compound in a remyelinating effective amount, wherein the compound is of formula IIB below:

wherein:

Ar<sup>31</sup> is selected from the group consisting of aryl, substituted aryl, heteroaryl, and substituted heteroaryl;

 $R^{32}$  is selected from the group consisting of alkyl, substituted alkyl, cycloalkyl, and substituted cycloalkyl or  $R^{32}$  and  $R^{33}$  together with the nitrogen atom bound to  $R^{32}$  and the carbon atom bound to  $R^{33}$  form a heterocyclic or substituted heterocyclic group;

R<sup>33</sup> is selected from the group consisting of hydrogen, alkyl, and substituted alkyl, or R<sup>32</sup> and R<sup>33</sup> together with the nitrogen atom bound to R<sup>32</sup> and the carbon atom bound to R<sup>33</sup> form a heterocyclic or substituted heterocyclic group;

R<sup>34</sup> is selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, and substituted aryl; and

R<sup>37</sup> is aryl, heteroaryl, substituted aryl, substituted heteroaryl, heterocyclic, substituted heterocyclic, aryloxy, substituted aryloxy, aralkoxy, substituted aralkoxy, heteroaryloxy, <u>or</u> substituted heteroaryloxy;

and pharmaceutically acceptable salts thereof.

- 16. (Original) The method according to claim 15, wherein  $R^{32}$  is alkyl, substituted alkyl, or  $R^{32}$  and  $R^{33}$  together with the nitrogen atom bound to  $R^{32}$  and the carbon atom bound to  $R^{33}$  form a heterocyclic or substituted heterocyclic group; and  $R^{34}$  is hydrogen or alkyl.
- 17. (Original) The method according to claim 15, wherein R<sup>37</sup> is aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic, or substituted heterocyclic.
- 18. (Original) The method according to claim 17, wherein R<sup>37</sup> is substituted aryl, wherein the aryl is substituted with one to three substituents independently selected from the group consisting alkyl and alkoxy, or a substituted heteroaryl, wherein the heteroaryl is substituted with one to three substituents independently selected from the group consisting alkyl, alkoxy, and oxo.
- 19. (Original) The method according to claim 17, wherein R<sup>37</sup> is substituted aryl or substituted heteroaryl wherein aryl or heteroaryl is 2,6-di-substituted.
- 20. (Original) The method according to claim 19, wherein R<sup>37</sup> is selected from the group consisting of 2,6-dialkoxyaryl, 2,6-dialkoxyheteroaryl, 2-alkyl-6-alkoxyaryl, 2-alkyl-6-alkoxyheteroaryl, 2-oxo-6-alkoxyheteroaryl, 2-oxo-6-alkylheteroaryl, and optionally substituted imidazolidin-2,4-dion-3-yl.

Page 16

- 21. (Original) The method according to claim 15, wherein Ar<sup>31</sup> is selected from the group consisting of 4-methylphenyl, 4-chlorophenyl, 1-naphthyl, 2-naphthyl, 4-methoxyphenyl, phenyl, 2,4,6-trimethylphenyl, 2-(methoxycarbonyl)phenyl, 2-carboxyphenyl, 3,5-dichlorophenyl, 4-trifluoromethylphenyl, 3,4-dichlorophenyl, 3,4-dimethoxyphenyl, 4-(CH<sub>3</sub>C(O)NH-)phenyl, 4-trifluoromethoxyphenyl, 4-cyanophenyl, 3,5-di-(trifluoromethyl)phenyl, 4-*t*-butylphenyl, 4-*t*-butoxyphenyl, 4-nitrophenyl, 2-thienyl, 1-N-methyl-3-methyl-5-chloropyrazol-4-yl, 1-N-methylimidazol-4-yl, 4-bromophenyl, 4-amidinophenyl, 4-methylamidinophenyl, 4-[CH<sub>3</sub>SC(=NH)]phenyl, 5-chloro-2-thienyl, 2,5-dichloro-4-thienyl, 1-N-methyl-4-pyrazolyl, 2-thiazolyl, 5-methyl-1,3,4-thiadiazol-2-yl, 4-[H<sub>2</sub>NC(S)]phenyl, 4-aminophenyl, 4-fluorophenyl, 2-fluorophenyl, 3-fluorophenyl, 3,5-difluorophenyl, pyridin-3-yl, pyrimidin-2-yl, 4-(3N-dimethylamino-*n*-propoxy)-phenyl, and 1-methylpyrazol-4-yl.
- 22. (Original) A method of promoting remyelination of nerve cells in a mammal comprising administering to the mammal in need thereof a compound in a remyelinating effective amount, wherein the compound is selected from the group consisting of:

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine ethyl ester

*N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine ethyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine isopropyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine n-butyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine cyclopentyl ester

*N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

*N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine

*N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

*N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *n*-butyl ester

*N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine cyclopentyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine tertbutyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(isonipecotoyloxy)phenylalanine ethyl ester

N-( $\alpha$ -toluenesulfonyl)-L-prolyl-L-4-(N-methylisonipecotoyloxy)phenylalanine ethyl ester

*N*-(α-toluenesulfonyl)-L-prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-prolyl-L-3-(N,N-dimethylcarbamyloxy)phenylalanine ethyl ester

*N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(1-*tert*-butylcarbonyloxy-4-phenylpiperidin-4-ylcarbonyloxy)phenylalanine ethyl ester

*N*-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

*N*-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

*N*-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine

*N*-(toluene-4-sulfonyl)-L-[(1,1-dioxo)thiamorpholin-3-carbonyl]-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

*N*-(toluene-4-sulfonyl)-L-[(1,1-dioxo)thiamorpholin-3-carbonyl]-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine

*N*-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

*N*-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine

N-(toluene-4-sulfonyl)sarcosyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine isopropyl ester

*N*-(toluene-4-sulfonyl)sarcosyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)sarcosyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine

*N*-(1-methylimidazole-4-sulfonyl)-L-prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

*N*-(4-aminobenzenesulfonyl)-L-prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)sarcosyl-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester

*N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-( $\alpha$ -toluenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine

*N*-(toluene-4-sulfonyl)-L-(piperazin-2-carbonyl)-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine

N-( $\alpha$ -toluenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

*N*-(toluene-4-sulfonyl)-L-(piperazin-2-carbonyl)-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

*N*-(toluene-4-sulfonyl)-L-(4-benzyloxycarbonylpiperazin-2-carbonyl)-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)sarcosyl-L-4-(isonipecotoyloxy)phenylalanine

*N*-(toluene-4-sulfonyl)-L-[(1,1-dioxo)thiamorpholin-3-carbonyl]-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester

*N*-(toluene-4-sulfonyl)-L-[(1,1-dioxo)thiamorpholin-3-carbonyl]-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine

*N*-(1-methylpyrazole-4-sulfonyl)-L-prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(4-fluorobenzenesulfonyl)-L-prolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

*N*-(toluene-4-sulfonyl)sarcosyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

*N*-(toluene-4-sulfonyl)-L-(1,1-dioxo-5,5-dimethyl)thiaprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

*N*-(1-methylimidazole-4-sulfonyl)-L-prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine

*N*-(toluene-4-sulfonyl)-L-(1,1-dioxo-5,5-dimethyl)thiaprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine

N-(4-fluorobenzenesulfonyl)-L-prolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine

N-(pyridine-3-sulfonyl)-L-prolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine

*N*-(toluene-4-sulfonyl)-D-prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

*N*-(toluene-4-sulfonyl)-L-*N*-methylalanyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(4-nitrobenzenesulfonyl)-L-prolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)sarcosyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester

*N*-(toluene-4-sulfonyl)-L-*N*-methylalanyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine

*N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(1,1-dioxothiomorpholin-4-ylcarbonyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(isonipecotoyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(pyrrolidin-1-ylcarbonyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine neopentyl ester

*N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine neopentyl ester

*N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-*tert*-butyloxycarbonylpiperazin-1-ylcarbonyloxy)phenylalanine ethyl ester

*N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine ethyl ester

*N*-(toluene-4-sulfonyl)sarcosyl-L-4-(1,1-dioxothiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)sarcosyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine

*N*-(toluene-4-sulfonyl)-L-*N*-methylalanyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

*N*-(toluene-4-sulfonyl)-L-(thiamorpholin-3-carbonyl)-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

*N*-(toluene-4-sulfonyl)sarcosyl-L-4-(1,1-dioxothiomorpholin-4-ylcarbonyloxy)phenylalanine

*N*-(toluene-4-sulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

*N*-(toluene-4-sulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester

*N*-(toluene-4-sulfonyl)-L-*N*-methylalanyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine

*N*-(4-fluorobenzenesulfonyl)-L-(thiamorpholin-3-carbonyl)-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

*N*-(4-fluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

*N*-(pyridine-3-sulfonyl)-L-prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

*N*-(pyrimidine-2-sulfonyl)-L-prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(4-nitrobenzenesulfonyl)-L-prolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine

*N*-(4-cyanobenzenesulfonyl)-L-prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

*N*-(toluene-4-sulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine

*N*-(toluene-4-sulfonyl)-L-(1,1-dioxo)thiaprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

*N*-(4-fluorobenzenesulfonyl)-L-prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

*N*-(1-methylpyrazole-4-sulfonyl)-L-prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine

*N*-(toluene-4-sulfonyl)-L-(1,1-dioxo)thiaprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine

*N*-(4-fluorobenzenesulfonyl)-L-thiaprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(piperazin-1-ylcarbonyloxy)phenylalanine

*N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(1-*tert*-butyloxycarbonylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

*N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(piperazin-1-ylcarbonyloxy)phenylalanine ethyl ester

*N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-acetylpiperazin-1-ylcarbonyloxy)phenylalanine ethyl ester

*N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-methanesulfonylpiperazin-1-ylcarbonyloxy)phenylalanine ethyl ester

*N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(morpholin-4-ylcarbonyloxy)-3-nitrophenylalanine

*N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(1-*tert*-butyloxycarbonylpiperazin-1-ylcarbonyloxy)phenylalanine

*N*-(toluene-4-sulfonyl)-L-*N*-methyl-2-(*tert*-butyl)glycinyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

*N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

*N*-(4-fluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(1,1-dioxothiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester

*N*-(toluene-4-sulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(1,1-dioxothiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester

*N*-(4-fluorobenzenesulfonyl)-L-prolyl-L-4-(1,1-dioxothiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester

*N*-(4-fluorobenzenesulfonyl)-L-prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester

*N*-(4-fluorobenzenesulfonyl)-L-prolyl-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester

*N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine

*N*-(4-fluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester

*N*-(4-trifluoromethoxybenzenesulfonyl)-L-prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

*N*-(toluene-4-sulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

3-[*N*-(toluene-4-sulfonyl)-*N*-methylamino]-1-[1-*tert*-butyloxycarbonyl-2-(*N*,*N*-dimethylcarbamyloxy)phenylethyl]azetidin-2-one

N-(4-fluorobenzenesulfonyl)-L-(1,1-dioxo-5,5-dimethyl)thiaprolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

*N*-(toluene-4-sulfonyl)-L-(1,1-dioxo-5,5-dimethyl)thiaprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

*N*-(toluene-4-sulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine

*N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine

N-(pyrimidine-2-sulfonyl)-L-prolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine

*N*-(toluene-4-sulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

3-[*N*-(toluene-4-sulfonyl)-*N*-methylamino]-1-[1-carboxy-2-(*N*,*N*-dimethylcarbamyloxy)phenylethyl]azetidin-2-one

*N*-(1-methylpyrazole-4-sulfonyl)-L-prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

*N*-(4-fluorobenzenesulfonyl)-L-(1,1-dioxo)thiaprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(isonipecotoyloxy)phenylalanine tert-butyl ester

*N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(1,1-dioxothiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester

*N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(pyrrolidin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

*N*-(4-fluorobenzenesulfonyl)-L-thiaprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

*N*-(4-fluorobenzenesulfonyl)-L-(1,1-dioxo)thiaprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

*N*-(2,5-dichlorothiophene-3-sulfonyl)-L-prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

*N*-(4-acetamidobenzenesulfonyl)-L-prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

*N*-(4-*tert*-butylbenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(pyridine-2-sulfonyl)-L-prolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine

*N*-(2-fluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

*N*-(3-fluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

*N*-(2,4-difluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

*N*-(4-acetamidobenzenesulfonyl)-L-prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine

*N*-(4-trifluoromethoxybenzenesulfonyl)-L-prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine

*N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(4-cyanobenzenesulfonyl)-L-prolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine

*N*-(toluene-4-sulfonyl)-L-(3,3-dimethyl)prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

*N*-(toluene-4-sulfonyl)-L-(3,3-dimethyl)prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

*N*-(1-methylpyrazole-4-sulfonyl)-L-prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *iso*-propyl ester

N-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N-(1,4-dioxa-8-aza-spiro[4.5]decan-8-yl)carbonyloxy)phenylalanine ethyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N-(1,4-dioxa-8-aza-spiro[4.5]decan-8-yl)carbonyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4N-acetylpiperazin-1-ylcarbonyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4N-methanesulfonylpiperazin-1-ylcarbonyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4N-phenylpiperazin-1-ylcarbonyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(piperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4N-methanesulfonylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine (N<sup>N</sup>-tert-butoxycarbonyl-2-amino-2-methylpropyl) ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4N-acetylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4<sup>N</sup>-hydroxypiperidin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N-(2<sup>N</sup>-(morpholin-4N-yl)ethyl)carbamyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N-(1,4-dioxa-8-aza-spiro[4.5]decan-8-yl)carbonyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N-(2<sup>N</sup>-hydroxyethyl)-N-methylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-prolyl-4-(4N-(2-hydroxyethyl)piperazin-1-ylcarbonyloxy)-L-phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N-(2<sup>N</sup>-formyloxyethyl)-N-methylcarbamyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N-(2N-hydroxyethyl)-N-methylcarbamyloxy)phenylalanine isopropyl ester

N-(toulene-4-sulfonyl)-L-prolyl-L-4-(N-(methoxycarbonylmethyl)carbamyloxy)phenylalanine *tert*-butyl ester N-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-(4-N,N-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4N-methoxypiperidin-1-ylcarbonyloxy)phenylalanine isopropyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4N-methoxypiperidin-1-ylcarbonyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-4-oxoprolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-*trans*-4-hydroxyprolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(3-fluorobenzenesulfonyl)-L-prolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

*N*-(morpholino-sulfonyl)-L-prolyl-L-(4-*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(morpholino-sulfonyl)-L-prolyl-L-(4-N,N-dimethylcarbamyloxy)phenylalanine

*N*-(1-methylpyrazole-4-sulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(2-fluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(N,N-dimethylcarbamyloxy)phenylalanine

N-(2,4-difluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(N,N-dimethylcarbamyloxy)phenylalanine

*N*-(toluene-4-sulfonyl)-L-(thiamorpholin-3-carbonyl)-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine

*N*-(pyridine-3-sulfonyl)-L-(5,5-dimethyl-thiaprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

*N*-(3-fluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine

*N*-(1-methylpyrazole-4-sulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine

*N*-(4-*tert*-butylbenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine

*N*-(toluene-4-sulfonyl)-(3,3-dimethyl)prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine

*N*-(2,5-dichlorothiophene-3-sulfonyl)-L-prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine

*N*-(4-methoxybenzenesulfonyl)-L-prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine

*N*-(4-methoxybenzenesulfonyl)-L-prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

*N*-(toluene-4-sulfonyl)-L-(1-oxo-thiomorpholin-3-carbonyl)-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine

*N*-(toluene-4-sulfonyl)-L-(1-oxo-thiomorpholin-3-carbonyl)-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

*N*-(3,4-difluorobenzenesulfonyl)-L-prolyl-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

*N*-(3,4-difluorobenzenesulfonyl)-L-prolyl-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine

*N*-(3,4-difluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

*N*-(3,4-difluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine

*N*-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-(thiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-(thiomorpholin-4-ylcarbonyloxy)phenylalanine

*N*-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine ethyl ester

*N*-(pyridine-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine

*N*-(pyridine-2-sulfonyl)-L-prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(pyridine-2-sulfonyl)-L-prolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine

*N*-(pyridine-2-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

*N*-(pyridine-2-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine

*N*-(toluene-4-sulfonyl)-L-(thiamorpholin-3-carbonyl)-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

*N*-(3-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

*N*-(2-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

*N*-(3,4-difluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(3,5-difluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine isopropyl ester

*N*-(2,4-difluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

*N*-(4-chlorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

*N*-(3-chlorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

*N*-(2-chlorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(3,4-dichlorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine isopropyl ester

*N*-(3,5-dichlorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

*N*-(3-chlorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

*N*-(3,4-dichlorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

*N*-(4-methoxybenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(3-methoxybenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine isopropyl ester

*N*-(2-methoxybenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

*N*-(3,4-dimethoxybenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(2,4-difluorobenzenesulfonyl)-L-(thiamorpholin-3-carbonyl)-L-4-(N,N-dimethylcarbamyloxy)phenylalanine isopropyl ester

*N*-(3,4-dichlorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine

*N*-(3-chlorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine

*N*-(3-chloro-4-fluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

*N*-(1-methylpyrazole-4-sulfonyl)-L-(thiamorpholin-3-carbonyl)-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

*N*-(3,4-difluorobenzenesulfonyl)-L-(thiamorpholin-3-carbonyl)-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

*N*-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thioprolyl-L-(thiomorpholin-4-ylcarbonyloxy)phenylalanine isopropyl ester

N-(3,4-difluorobenzenesulfonyl)-L-(thiamorpholin-3-carbonyl)-L-4-(N,N-dimethylcarbamyloxy)phenylalanine

*N*-(2,5-dichlorothiophene-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

*N*-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine isopropyl ester

N-(8-quinolinesulfonyl)-L-prolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(8-quinolinesulfonyl)-L-prolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine

*N*-(8-quinolinesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine isoproplyl ester

*N*-(8-quinolinesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-phenylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

*N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(4N-(ethoxycarbonyl)piperidin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

*N*-(pyridine-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

*N*-(3-sulfonamido-4-chloro-benzenesulfonyl)-L-prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

*N*-(toluene-4-sulfonyl)-L-(1-oxothiomorpholin-3-carbonyl)-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

*N*-(2,4-difluorobenzenefulfonyl)-L-(1-oxothiomorpholin-3-carbonyl)-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

*N*-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine 2,2-dimethylpropyl ester

*N*-(pyridine-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine 2,2-dimethylpropyl ester

*N*-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine cyclopropylmethyl ester

*N*-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine methyl ester

*N*-(pyridine-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine ethyl ester

*N*-(pyridine-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine cyclopropylmethyl ester

*N*-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine 2-methoxyphenyl ester

N-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine n-butyl ester

N-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine n-propyl ester

*N*-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine 2,2-dimethylpropionyloxymethyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N-(4 $\square$ -(2 $\square$ -aminoethyl)morpholino)carbamyloxy)phenylalanine

*N*-(toluene-4-sulfonyl)-L-prolyl-L-4-[4-(carboxy)piperidin-1-ylcarbonyloxy]phenylalanine

*N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(*N*,*N*-bis-(2-hydroxyethyl)carbamyloxy)phenylalanine isopropyl ester

*N*-(toluene-4-sulfonyl)-L-prolyl-L-4-[3-(hydroxymethyl)piperidin-1-ylcarbonyloxy]phenylalanine isopropyl ester

*N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-trifluoromethanesulfonylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

*N*-(4-(*N*-phenylurea)benzenesulfonyl)-L-prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

*N*-(2-trifluoroacetyl-1,2,3,4-tetrahydroisoquinolin-7-sulfonyl)-L-prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

*N*-(1-methylpyrazole-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

*N*-(1-methylpyrazole-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine

*N*-(pyridine-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

*N*-(pyridine-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine

*N*-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N*-methyl-*N*-(2-dimethylaminoethyl)carbamyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N-methyl-N-(2-dimethylaminoethyl)carbamyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thiapropyl-L-4-(N-methyl-N-(2-dimethylaminoethyl)carbamyloxy)phenylalanine

*N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(*N*-methyl-*N*-(2-dimethylaminoethyl)carbamyloxy)phenylalanine

*N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

*N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(*N*,*N*-dimethycarbamyloxy)phenylalanine

*N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester

*N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine isopropyl ester

*N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(*N*,*N*-dimethylcarbamyloxy)]phenylalanine isopropyl ester

N-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(N,N-dimethylcarbamyloxy)phenylalanine isopropyl ester

*N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(4-methylpiperazin-1-ylcarbonyloxy)]phenylalanine isopropyl ester

*N*-(toluene-4-sulfonyl)-L-prolyl-L-3-chloro-4-(*N*,*N*-dimethylcarbamyloxy)]phenylalanine isopropyl ester

*N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(4-(2□-pyridyl)-piperazin-1-ylcarbonyloxy)]phenylalanine isopropyl ester

N-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(4-(2□-pyridyl)-piperazin-1-ylcarbonyloxy)]phenylalanine *tert*-butyl ester

N-(4-nitrobenzenesulfonyl)-L-prolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine isopropyl ester

*N*-(4-aminobenzenesulfonyl)-L-prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

*N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine isopropyl ester

*N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-phenylcarbamylpiperazin-1-ylcarbonyloxy)phenylalanine isopropyl ester

*N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-phenylcarbamylpiperazin-1-ylcarbonyloxy)phenylalanine

*N*-(1-*n*-butylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(pyridin-4-ylcarbonyl)piperazin-1-ylcarbonyloxy)phenylalanine isopropyl ester

N-(toluene-4-sulfonyl)-L-4-oxoprolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine

*N*-(toluene-4-sulfonyl)-L-*trans*-4-hydroxyprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine

*N*-(4-cyanobenzenesulfonyl)-L-prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(4-aminobenzenesulfonyl)-L-prolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-4-oxoprolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

Page 41

*N*-(toluene-4-sulfonyl)-L-prolyl-L-4-[3-(hydroxymethyl)piperidin-1-ylcarbonyloxy]phenylalanine

*N*-(toluene-4-sulfonyl)-L-(4,4-difluoro)prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

*N*-(toluene-4-sulfonyl)-L-(4,4-difluoro)prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-prolyl-L-(4-benzoylpiperazin-1-ylcarbonyloxy)phenylalanine isopropyl ester

*N*-(1-methyl-1H-imidazole-4-sulfonyl)-L-prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

*N*-(toluene-4-sulfonyl)-L-4-(thiomorpholin-4-ylcarbonyloxy)prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine

N-(4-cyanobenzenesulfonyl)-L-prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine isopropyl ester

*N*-(4-amidinobenzenesulfonyl)-L-prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine methyl ester

*N*-(toluene-4-sulfonyl)-L-4-oxoprolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester

*N*-(toluene-4-sulfonyl)-L-4-hydroxyprolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine

*N*-(toluene-4-sulfonyl)-L-prolyl-L-(4-benzoylpiperazin-1-ylcarbonyloxy)phenylalanine

*N*-(4-amidinobenzenesulfonyl)-L-prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine methyl ester

N-(3-fluorobenzenesulfonyl)-L-prolyl-L-4-(N,N-dimethylcarbonyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-prolyl-L-4-[N-methyl-N-(2-(N-methyl-N-toluenesulfonyl-amino)ethyl)carbamyloxy]phenylalanine isopropyl ester

*N*-(toluene-4-sulfonyl)-L-prolyl-L-4-[*N*-(2-(*N*□-phenylaminocarbonyloxy)ethyl)carbamyloxy)]phenylalanine isopropyl ester

*N*-(4-fluorobenzenesulfonyl)-L-4-(*trans*-hydroxy)prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(4-fluorobenzenesulfonyl)-L-4-(*trans*-hydroxy)prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

*N*-(4-amidinobenzenesulfonyl)-L-prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine

*N*-(toluene-4-sulfonyl)-L-(pyrazin-3-carbonyl)-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

*N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(2-hydroxymethylpyrrolidin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

*N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(2-hydroxymethylpyrrolidin-1-ylcarbonyloxy)phenylalanine

*N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(2-methoxycarbonylpyrrolidin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

*N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine

*N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)]phenylalanine

*N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)]phenylalanine *tert*-butyl ester

*N*-(toluene-4-sulfonyl)-L-(4-hydroxy)prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester

*N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine 2-(2-methoxyethoxy)ethyl ester

*N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyrimidyl)piperazin-1-ylcarbonyloxy)]phenylalanine *tert*-butyl ester

*N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-fluoro-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(toluene-4-sulfonyl)-L-(1-methanesulfonylpyrazin-3-carbonyl)-L-4-(N,N-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(4-bromobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(4-bromobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine

*N*-(toluene-4-sulfonyl)-L-(4-hydroxy)prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine

*N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyrimidyl)piperazin-1-ylcarbonyloxy)]phenylalanine

N-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)]phenylalanine isopropyl ester

*N*-(4-fluorobenzenesulfonyl)thiazolidinyl-2-carbonyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine

*N*-(4-fluorobenzenesulfonyl)thiazolidinyl-2-carbonyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

*N*-(toluene-4-sulfonyl)-L-(4-oxo)prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine

*N*-(toluene-4-sulfonyl)-L-(4-oxo)prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine

*N*-(4-fluorobenzenesulfonyl)thiazolidinyl-2-carbonyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)]phenylalanine

*N*-(4-nitrobenzenesulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)]phenylalanine *tert*-butyl ester

*N*-(4-fluorobenzenesulfonyl)thiazolidinyl-2-carbonyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)]phenylalanine *tert*-butyl ester

*N*-(4-bromobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)]phenylalanine

*N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-(*N*-phenylthiocarbonyl)piperazin-1-ylcarbonyloxy)]phenylalanine isopropyl ester

*N*-(4-fluorobenzenesulfonyl)thiazolidinyl-2-carbonyl-L-4-(4-methylhomopiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

*N*-(toluene-4-sulfonyl)-L-4-(methanesulfonyloxy)prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

*N*-(4-aminocarbonylbenzenesulfonyl)-L-prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine

*N*-(4-aminocarbonylbenzenesulfonyl)-L-prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine

*N*-(4-amidinobenzenesulfonyl)-L-prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine

*N*-(4-nitrobenzenesulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)]phenylalanine

N-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)]phenylalanine ethyl ester

N-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine

N-(4-fluorobenzenesulfonyl)thiazolidinyl-2-carbonyl-L-4-(4-methylhomopiperazin-1-ylcarbonyloxy)phenylalanine

*N*-(1-methylpyrazole-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

*N*-(1-methylimidazole-4-sulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine isopropyl ester

*N*-(1-methylimidazole-4-sulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

*N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine isopropyl ester

*N*-(4-fluorobenzenesulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine isopropyl ester

*N*-(4-fluorobenzenesulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-(1-methanesulfonylpyrazin-3-carbonyl)-L-4-(N,N-dimethylcarbamyloxy)phenylalanine

*N*-(toluene-4-sulfonyl)-L-4-(methanesulfonyloxy)prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine

*N*-(4-bromobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

*N*-(4-trifluoromethoxybenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine

*N*-(4-trifluoromethoxybenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

*N*-(4-trifluoromethoxybenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

*N*-(4-fluorobenzenesulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine

*N*-(4-fluorobenzenesulfonyl)-L-(4-hydroxy)prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine

*N*-(4-trifluoromethoxybenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine

*N*-(1-methylimidazole-4-sulfonyl)-L-prolyl-L-3-chloro-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine

*N*-(1-methylimidazole-4-sulfonyl)-L-prolyl-L-3-chloro-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

*N*-(1-methylimidazole-4-sulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine

*N*-(1-methylimidazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine

*N*-(1-methylpyrazole-3-sulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine

*N*-(1-methylpyrazole-3-sulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine isopropyl ester

*N*-(1-methylpyrazole-3-sulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

*N*-(1-methylpyrazole-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

*N*-(1-methylimidazole-4-sulfonyl)-L-prolyl-L-3-chloro-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine isopropyl ester

*N*-(1-methylpyrazole-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine 2-phenoxyethyl ester

*N*-(1-methylpyrazole-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine

*N*-(1-methylpyrazole-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine ethyl ester

*N*-(3-chloro-1,5-dimethylpyrazole-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(4-(5-trifluoromethyl-2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine

and pharmaceutically acceptable salts thereof.

23. (Original) The method according to any one of claims 5, 13, and 15, wherein the mammal is a human.

- 24. (Original) The method according to any one of claims 5, 13, and 15, wherein the human suffers from a condition which demyelinates cells, and wherein said condition is multiple sclerosis, a congenital metabolic disorder, a neuropathy with abnormal myelination, drug induced demyelination, radiation induced demyelination, a hereditary demyelinating condition, a prion induced demyelinating condition, encephalitis induced demyelination, or a spinal cord injury.
- 25. (Original) The method according to claim 24, wherein the human suffers from multiple sclerosis.
- 26. (Original) The method according to any one of claims 5, 13, and 15, wherein the compound is administered parenterally.
- 27. (Original) The method according to any one of claims 5, 13, and 15, wherein the compound is administered chronically to the mammal in need thereof.
- 28. (Original) The method according to claim 27, wherein the chronic administration of the compound is weekly or monthly over a period of at least one year.
- 29. (Original) The method according to any one of claims 5, 13, and 15, wherein an anti-inflammatory agent is co-administered with the compound to the mammal.
- 30. (Original) The method according to claim 29, wherein an anti-inflammatory agent is co-administered with the compound to the mammal.
- 31. (Original) The method according to claim 30, wherein the anti-inflammatory agent is adrenocorticotropic hormone, a corticosteroid, an interferon, glatiramer acetate, or a non-steroidal anti-inflammatory drug.
  - 32. (Cancelled)

- 33. (Original) The method according to claim 31, wherein the corticosteroid is prednisone, methylprednisolone, dexamethasone cortisol, cortisone, fludrocortisone, prednisolone, 6α-methylprednisolone, triamcinolone, or betamethasone.
- 34. (Original) The method according to claim 33, wherein the corticosteroid is prednisone.
  - 35. (Cancelled)
- 36. (Original) The method according to any one of claims 5, 13, and 15, wherein the compound is administered intravenously or subcutaneously.
- 37. (Original) The method according to claim 36, wherein the compound is administered intravenously to a mammal, and wherein the administration results in an effective blood level of the compound in the mammal of  $\geq 10$  ng/ml.
- 38. (Original) The method according to claim 36, wherein the compound is administered intravenously in an amount of 20  $\mu$ g to about 500  $\mu$ g per kilogram body weight of the mammal.

39-91. (Cancelled)